

Pfizer Inc.	PF-00913086 (prinabere; ERB-041)
Mechanism of Action	<p>Estrogen receptor β (ERβ, ESR2) agonist /nuclear receptor 3A2 (NR3A2) agonist</p> <p>http://iuphar-db.org/DATABASE/ObjectDisplayForward?objectId=621</p> <p>http://www.ncbi.nlm.nih.gov/gene/2100</p>
Overview	<p>PF-00913086 is a potent (IC₅₀ = 2.8nM) and selective (900-fold over related ER alpha) nonsteroidal agonist of the beta form of the estrogen receptor (ERβ).</p>
Safety/Tolerability	<p>PF-00913086 is considered safe and generally well tolerated based on multiple dose studies up to the maximum dose of 75 mg administered once daily for 28 days in patients diagnosed with Crohn's disease, for 12 weeks in rheumatoid arthritis patients concomitantly receiving methotrexate, and for 12 weeks in reproductive age women diagnosed with endometriosis.</p> <p>Nonclinical toxicology data support clinical studies up to 1 year in duration.</p>
Additional Information	<p>In preclinical models, the compound lacks classic estrogenic activity (e.g., stimulatory effects on the uterus or inhibition of ovulation), but has demonstrated efficacy following oral administration in models of arthritis, inflammatory bowel disease, and endometriosis.</p> <p>In 12 week clinical studies, PF-00913086 was indistinguishable from placebo on efficacy endpoints in rheumatoid arthritis patients inadequately controlled with methotrexate, and the reduction of symptoms associated with endometriosis.</p>
Suitable for and Exclusions	<p>Clinical studies up to 12 weeks in duration where a ERβ or ERβ pathway dependent mechanism has a role in disease.</p>
Clinical Trials	<p>http://www.clinicaltrials.gov/ct2/results?term=ERB-041</p>
Publications	<p>http://www.ncbi.nlm.nih.gov/pubmed?term=ERB-041</p>